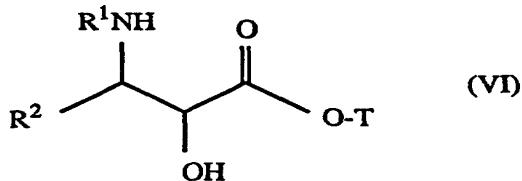


What is claimed is:

1. A method for the preparation of a compound of the following formula VI or salt thereof:

5



where

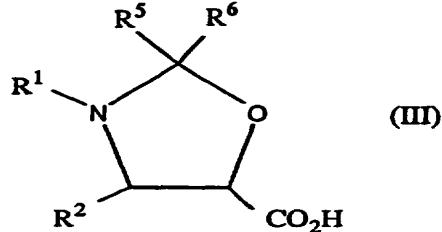
10  $R^1$  is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

$R^2$  is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of said moiety;

15 comprising the steps of:

(a) contacting a compound of the following formula III or salt thereof:



20

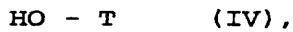
where

$R^1$  and  $R^2$  are as defined above; and

$R^5$  and  $R^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they

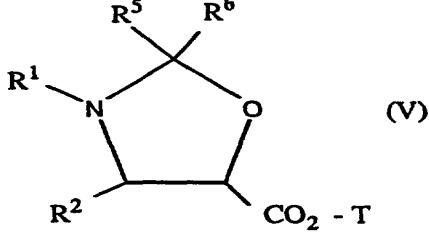
are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;  
 with a compound of the following formula IV or salt thereof:

5



where T is as defined above, in the presence of a coupling agent, to form a compound of the following formula V or salt thereof:

10



15

where R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup> and T are as defined above;  
 and

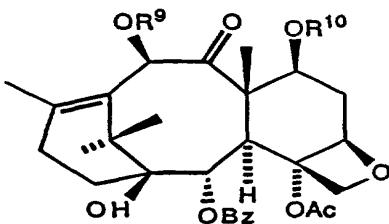
20

(b) contacting said compound of the formula V or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

2. The method of claim 1, wherein

25

R<sup>1</sup> is arylcarbonyl or alkyloxycarbonyl;  
 R<sup>2</sup> is phenyl, thienyl or furyl;  
 R<sup>5</sup> and R<sup>6</sup> are each independently unsubstituted lower alkyl; and  
 T is the moiety:



where

R⁹ is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

5 R¹⁰ is hydrogen or a hydroxyl protecting group.

3. The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or  
 10 N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the aforementioned compounds are employed together with  
 15 an amine.

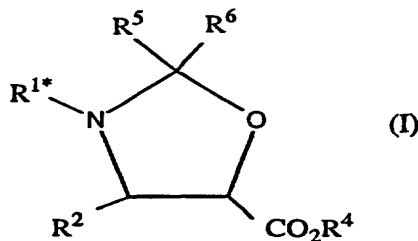
4. The method of claim 1, wherein said ring-opening agent is a Lewis acid.

20 5. The method of claim 4, wherein said Lewis acid is Pd(CH<sub>3</sub>CN)<sub>2</sub>Cl<sub>2</sub>.

6. The method of claim 1, wherein said compound of the formula VI is paclitaxel.

25 7. The method of claim 1, wherein R<sup>1</sup> is the group R<sup>1</sup>\* in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method

comprising the step of contacting a compound of the following formula I or salt thereof:



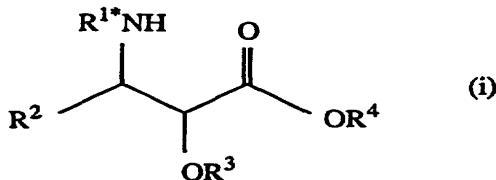
5

where

R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined above;  
 R<sup>4</sup> is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and  
 10 R<sup>1\*</sup> is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R<sup>1\*</sup> is not tert-butoxycarbonyl when R<sup>2</sup> is aryl; with a hydrolyzing agent.

15 8. The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

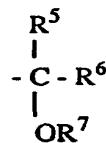
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where

R<sup>1\*</sup>, R<sup>2</sup> and R<sup>4</sup> are as defined above; and

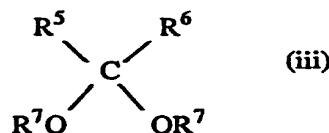
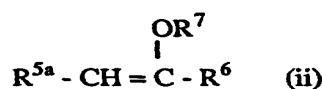
$R^3$  is hydrogen or the group  $R^3P$ , where  $R^3P$  is the group:



5

where  $R^5$  and  $R^6$  are as defined above, and  $R^7$  is alkyl or aryl;

with an acid catalyst, and additionally, where  $R^3$  is hydrogen, with a compound of the 10 formula ii or iii:

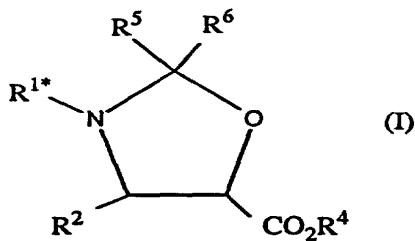


15

where  $R^5$ ,  $R^6$  and  $R^7$  are as defined above, and where  $R^{5a}$  (i) is a group such that  $R^{5a}-CH_2-$  is  $R^5$  or (ii) forms, together with  $R^6$  and the atoms to which  $R^{5a}$  and  $R^6$  are bonded, a cycloalkenyl or heterocyclo 20 group containing at least one carbon to carbon double bond.

9. A compound of the following formula I or salt thereof:

25



where

5       $R^{1*}$  is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that  $R^{1*}$  is not tert-butoxycarbonyl when  $R^2$  is aryl;

10      $R^2$  is aryl, heterocyclo or alkyl;

15      $R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

20      $R^5$  and  $R^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group.

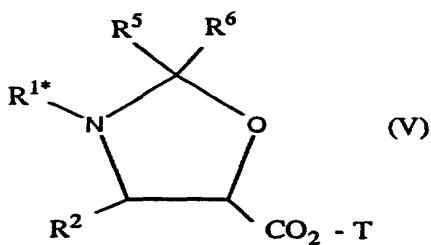
15     10.    A compound of claim 9 which is selected from the group consisting of:

20     (4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

25     (4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, lithium salt; and

25     (4*S*-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid.

11.    A compound of the following formula V or salt thereof:



where

5      R<sup>1\*</sup> is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R<sup>1\*</sup> is not tert-butoxycarbonyl when R<sup>2</sup> is aryl;

10     R<sup>2</sup> is aryl, heterocyclo or alkyl;

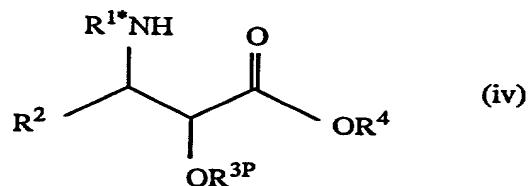
10     R<sup>5</sup> and R<sup>6</sup> are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and

15     T is a taxane moiety directly bonded at C-13 of said moiety.

15     12.    A compound of claim 11 which is

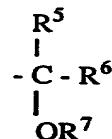
20     [2aR-(2a $\alpha$ ,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (4S\*,5R\*),-11 $\alpha$ ,12 $\alpha$ ,12a $\alpha$ ,12b $\alpha$ ]-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid 6,12b-bis(acetoxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

25     13.    A compound of the following formula iv or salt thereof:



where

5       $R^{1*}$  is hydrogen, arylcarbonyl, alkoxy carbonyl or alkyl carbonyl, with the proviso that  $R^{1*}$  is not tert-butoxycarbonyl when  $R^2$  is aryl;  
 $R^2$  is aryl, heterocyclo or alkyl;  
 $R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and  
10      $R^{3P}$  is the group:

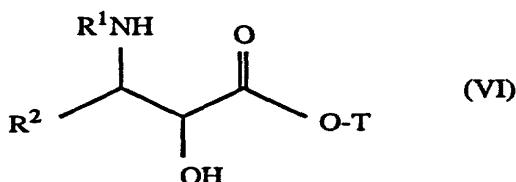


where

15  $R^5$  and  $R^6$  are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and  $R^7$  is alkyl or aryl.

20

14. A method for the preparation of a compound of the following formula VI or a salt thereof:



where

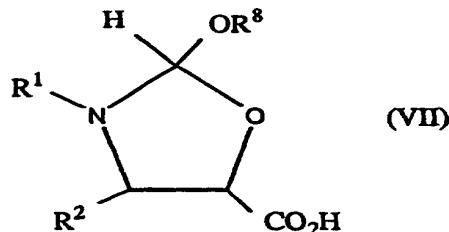
$\text{R}^1$  is hydrogen, arylcarbonyl, alkoxy carbonyl or  
5 alkylcarbonyl;

$\text{R}^2$  is aryl, heterocyclo or alkyl; and

$\text{T}$  is a taxane moiety directly bonded at C-13 of  
said moiety;

comprising the steps of:

10 (a) contacting a compound of the  
following formula VII or salt thereof:



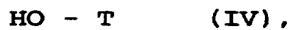
15 where

$\text{R}^1$  and  $\text{R}^2$  are as defined above; and

$\text{R}^8$  is alkyl or aryl;

with a compound of the following formula IV or salt  
thereof:

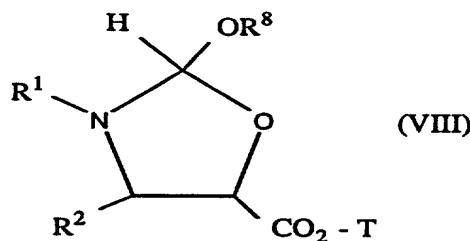
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where  $\text{T}$  is as defined above, in the presence of a

coupling agent, to form a compound of the following

25 formula VIII or salt thereof:



where  $R^1$ ,  $R^2$ ,  $R^8$  and  $T$  are as defined above; and

5 (b) contacting said compound of the formula VIII or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

10

15. The method of claim 14, wherein

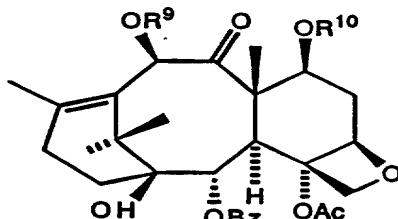
$R^1$  is arylcarbonyl or alkyloxycarbonyl;

$R^2$  is phenyl, thienyl or furyl;

15

$R^8$  is alkyl or aryl; and

$T$  is the moiety:



where

20  $R^9$  is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

$R^{10}$  is hydrogen or a hydroxyl protecting group.

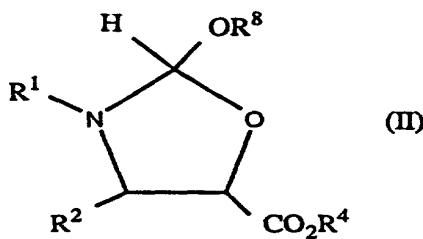
16. The method of claim 14, wherein said coupling agent comprises a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride), carbonyl diimidazole, pivaloyl chloride, or 2,4,6-5 trichlorobenzoyl chloride; wherein the aforementioned compounds are employed together with 1-hydroxybenzotriazole, N-hydroxysuccinimide, or an amine.

10 17. The method of claim 14, wherein said ring-opening agent is a protic acid.

18. The method of claim 17, wherein said protic acid is an organic carboxylic acid and/or an 15 aqueous mineral acid.

19. The method of claim 14, wherein said compound of the formula VI is paclitaxel or taxotere.

20 20. The method of claim 14, wherein said compound of the formula VII or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula II 25 or salt thereof:

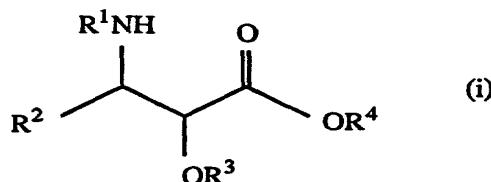


where  $R^1$ ,  $R^2$  and  $R^8$  are as defined above; and

$R^4$  is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; with a hydrolyzing agent.

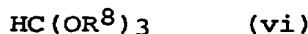
5           21.       The method of claim 20, wherein said compound of the formula II or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

10



where  $R^1$ ,  $R^2$  and  $R^4$  are as defined above; and  $R^3$  is hydrogen;

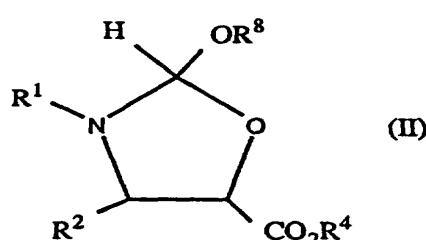
15 with an acid catalyst and a compound of the following formula vi:



20 where  $R^8$  is as defined above.

22. A compound of the following formula  
II or salt thereof:

25



where

$R^1$  is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

5  $R^2$  is aryl, heterocyclo or alkyl;

$R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo;

and

$R^8$  is alkyl or aryl.

10

23. A compound of claim 22 which is selected from the group consisting of:

$(4S,5R)$ -3-benzoyl-2-ethoxy-4-phenyl-5-

15 oxazolidinecarboxylic acid, ethyl ester;

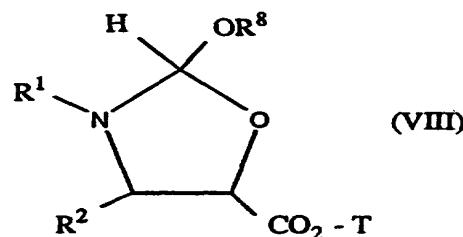
$(4S,5R)$ -3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester; and

20

$(4S,5\beta)$ -3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid.

24. A compound of the following formula VIII or salt thereof:

25



where

R<sup>1</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

R<sup>2</sup> is aryl, heterocyclo or alkyl;

R<sup>8</sup> is alkyl or aryl; and

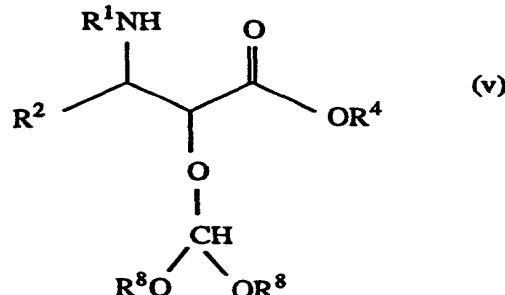
5 T is a taxane moiety directly bonded at C-13 of said moiety.

25. A compound of claim 24 which is

10 [2aR-(2a $\alpha$ , 4 $\beta$ , 4a $\beta$ , 6 $\beta$ , 9 $\alpha$ (4S\*, 5R\*), - 11 $\alpha$ , 12 $\alpha$ , 12a $\alpha$ , 12b $\alpha$ ]-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid 6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-15 oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

26. A compound of the following formula v or salt thereof:

20



where

R<sup>1</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

25 R<sup>2</sup> is aryl, heterocyclo or alkyl;

$R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl,  
cycloalkyl, cycloalkenyl, or heterocyclo;  
and  
 $R^8$  is alkyl or aryl.